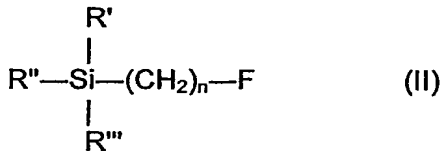
Claims

1. A process for preparation of a fluorohaloalkane of formula (I)

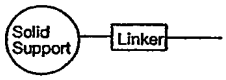
$$X—(CH_2)_n—F \qquad (I)$$

wherein X is halo and n is an integer of from 1 to 6; which comprises:
reaction of the corresponding organosilicon compound of formula (II):



$$R''—Si(R')(R''')—(CH_2)_n—F \qquad (II)$$

wherein n is as defined for the compound of formula (I); and
R', R", and R"' are independently selected from C_{1-6} alkyl and C_{1-6} haloalkyl; and
R" may alternatively be the group:



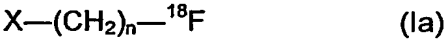
;

with a compound of formula (III):

$$XY \qquad (III)$$

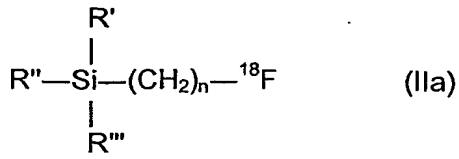
wherein X is as defined for the compound of formula (I) and Y is halo.

2. A process according to claim 1 for preparation of a [^{18}F]fluorohaloalkane of formula (Ia)



$$X—(CH_2)_n—^{18}F \qquad (Ia)$$

wherein X is halo and n is an integer of from 1 to 6; which comprises:
reaction of the corresponding organosilicon compound of formula (IIa):

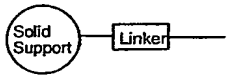


(IIa)

wherein n is as defined for the compound of formula (Ia); and

R', R", and R"' are independently selected from C_{1-6} alkyl and C_{1-6} haloalkyl; and

R" may alternatively be the group:



;

with a compound of formula (III):

XY (III)

wherein X is as defined for the compound of formula (Ia) and Y is halo.

3. A process according to claim 1 or 2 which comprises the further step:

(i) isolation of the compound of formula (I) or (Ia); and/or

(ii) conversion of the compound of formula (I) or (Ia) to a corresponding fluoroalkylsulphonyl ester of formula (V) or (Va) respectively:

R^1SO_2-O—$(CH_2)_n$—F (V)

R^1SO_2-O —$(CH_2)_n$—^{18}F (Va)

wherein n is as defined for the compound of formula (I) or (Ia), and R^1 is selected from C_{1-6} alkyl, C_{1-6} perfluoroalkyl, aryl, tolyl, perfluoroaryl, and perfluorotolyl.

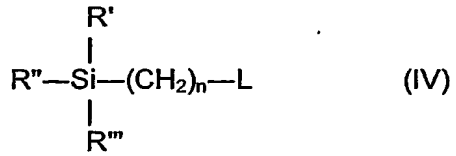
4. A process according to any one of claims 1 to 3 which comprises the further step:

(i) use of the resulting compound of formula (I) or (Ia) in the preparation of a fluoroalkyl ligand or radiotracer, such as a [^{18}F]fluoroalkylated radioligand or [^{18}F]-radiotracer.

5. A process according to claim 4 wherein the radioligand or radiotracer prepared is selected from:
2-(1,1-dicyanopropen-2-yl)-6-(2-[^{18}F]-fluoroC_{1-6}alkyl)-methylamino)naphthalene,
3-(2'-[^{18}F]fluoroC_{1-6}alkyl)spiperone,
[^{18}F][2-fluoroC_{1-6}alkoxy-5-(5-trifluoromethyl-tetrazol-1-yl)-benzyl]-([2S,3S]-2-phenyl-piperidin-3-yl)-amine,
2-beta-carbomethoxy-3-beta-(4-iodophenyl)-8-(3-[^{18}F]fluoroC_{1-6}alkyl)-nortropane,
[^{18}F]fluoroC_{1-6}alkylflumazenil, and
[^{18}F]fluoroC_{1-6}alkyl-choline.

6. A process according to claim 4 or 5 wherein the [^{18}F]fluoroalkylated radioligand prepared is selected from:
2-(1,1-dicyanopropen-2-yl)-6-(2-[^{18}F]-fluoroethyl)-methylamino)naphthalene,
3-(2'-[^{18}F]fluoroethyl)spiperone,
[^{18}F][2-fluoromethoxy-5-(5-trifluoromethyl-tetrazol-1-yl)-benzyl]-([2S,3S]-2-phenyl-piperidin-3-yl)-amine),
2-beta-carbomethoxy-3-beta-(4-iodophenyl)-8-(3-[^{18}F]fluoropropyl)-nortropane,
[^{18}F]fluoroethylflumazenil),
[^{18}F]fluoromethyl-choline, and
[^{18}F]fluoroethyl-choline).

7. A process for the preparation of a compound of formula (II) or (IIa) as defined in claim 1 or 2 which comprises reaction of a compound of formula (IV):

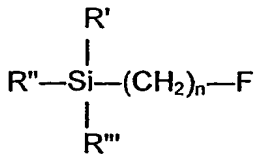


(IV)

wherein n, R', R", and R"' are as defined for the compound of formula (II) or (IIa), and L is a leaving group;

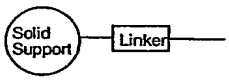
with a source of F^-, preferably $^{18}F^-$ in the presence of a phase transfer catalyst.

8. A compound of formula (II):

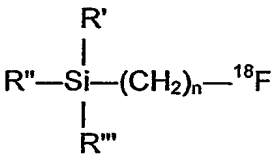


(II)

wherein n is an integer of from 1 to 6; and
R' and R''' are independently selected from C_{1-6} alkyl and C_{1-6} haloalkyl; and
R'' is the group:

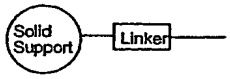


9. A compound of formula (IIa):

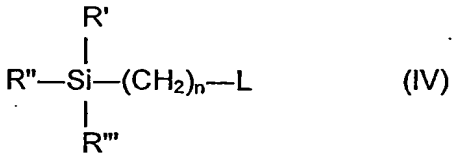


(IIa)

wherein n is an integer of from 1 to 6; and
R', R'', and R''' are independently selected from C_{1-6} alkyl and C_{1-6} haloalkyl; and
R'' may alternatively be the group:



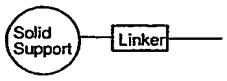
10. A compound of formula (IV):



(IV)

wherein n is an integer of from 1 to 6;

R', R", and R"' are independently selected from C_{1-6} alkyl and C_{1-6} haloalkyl; and R" may alternatively be the group:



;

L is a group $-OSO_2R^2$ wherein R^2 is selected from C_{1-6} alkyl, C_{1-6} perfluoroalkyl, aryl, perfluoroaryl, tolyl, and perfluorotolyl;

provided that:

(a) when R" is C_{1-6} alkyl or C_{1-6} haloalkyl, n is not 1; and

(b) when R" is C_{1-6} alkyl or C_{1-6} haloalkyl and n is 2 to 6, L is not $-OSO_2CH_3$ or $-OSO_2$(*para*-methyl)phenyl.